L10 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:638236 CAPLUS
DOCUMENT NUMBER: 123:144502
TITLE: Method for preparation of 1-O-acylglycerol 2,3-cyclic phosphate
INVENTOR(S): Kobayashi, Susumu; Imai, Nobuyuki; Shinagawa, Rumi; Takahashi, Hideyori
PATENT ASSIGNEE(S): Sagami Chem Res, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
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FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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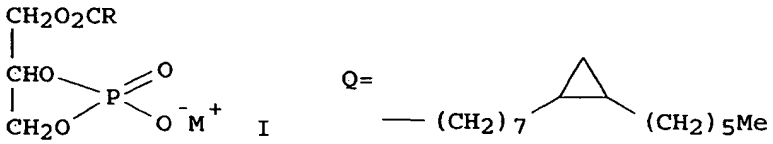
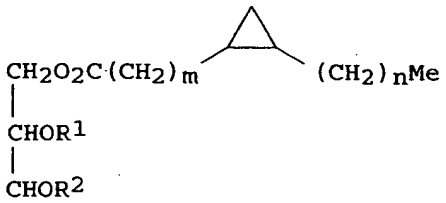
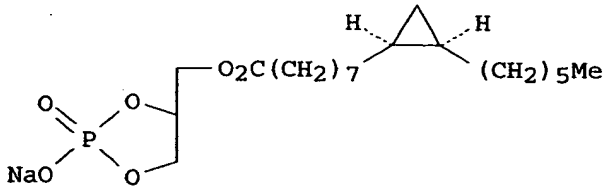
PRIORITY APPLN. INFO.: JP 1993-40657 19930205
OTHER SOURCE(S): CASREACT 123:144502; MARPAT 123:144502
GI



I



II



III

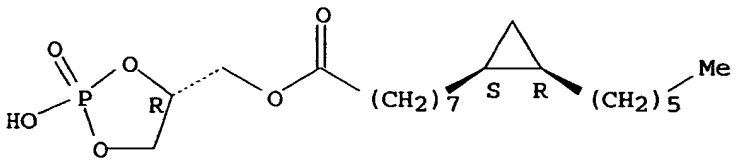
AB The title compound [I; R = linear or branched C1-30 alkyl or C2-30 alkenyl optionally containing a cycloalkane or an aromatic ring; M = H, alkali or alkaline earth metal, (un)substituted ammonium] is prepared by reacting 1-O-acylglycerol RCO2CH2CH(OH)CH2OH (R = same as above) with a phosphorylating agent X1X2X3P(O) [X1 = halo, imidazolyl, triazolyl; X2 = halo, imidazolyl, triazolyl, (un)substituted PhO or alkoxy; X3 = imidazolyl, triazolyl, (un)substituted PhO or alkoxy, substituted amino] followed by hydrolysis. An optically active intermediate (II; m, n = 0-15 integer; R1, R2 = H, HO-protective group) is also prepared This process gives, in particular, lysophosphatidic acid PHYLPA I (R = Q, M = Na) which is a potent DNA polymerase α inhibitor and potentially useful as an antitumor agent (no data). Thus, 1-O-[(9S,10R)-9,10-methanohexadecanoyl]-

sn-glycerol (preparation given) in THF was added to a solution of phosphoryl tristriazolide in THF which was prepared by reacting triazole with POCl3 and Et3N in THF, and the resulting mixture was stirred at room temperature for 20 min, added to 2% aqueous HCl, and extracted with Et2O. The ether extract was dried over anhydrous Na2SO4, treated with NaH in Et2O, and extracted with distilled water followed by freeze-drying the water extract to give 97% optically active title compound (III).

IT 151766-47-1P 151766-51-7P 151766-52-8P
151766-53-9P 164215-56-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of O-acylglycerol cyclic phosphate as DNA polymerase inhibitor and antitumor agent)

RN 151766-47-1 CAPLUS
CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1S,2R)- (9CI) (CA INDEX NAME)

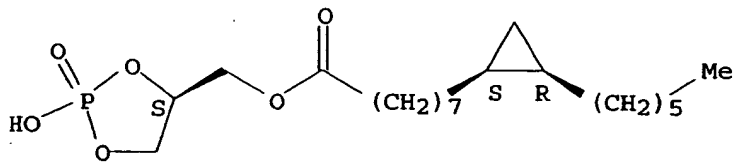
Absolute stereochemistry. Rotation (+).



● Na

RN 151766-51-7 CAPLUS
CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4S)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1S,2R)- (9CI) (CA INDEX NAME)

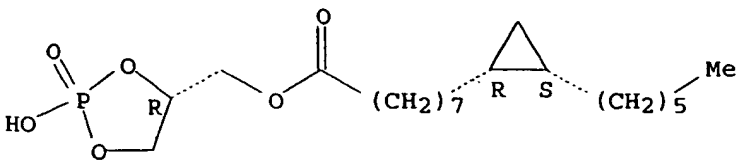
Absolute stereochemistry. Rotation (-).



● Na

RN 151766-52-8 CAPLUS
CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1R,2S)- (9CI) (CA INDEX NAME)

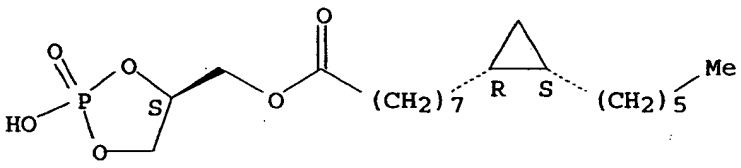
Absolute stereochemistry.



● Na

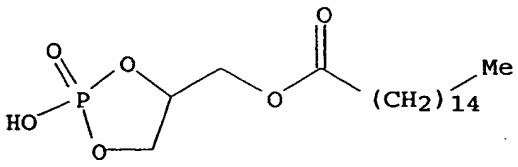
RN 151766-53-9 CAPLUS
CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4S)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

RN 164215-56-9 CAPLUS
CN Hexadecanoic acid, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester, sodium salt (9CI) (CA INDEX NAME)



● Na